

REMARKS

The Present Invention

The present invention pertains to a process for the preparation of an intermediate useful for preparing kifunensine. The invention also relates to a process for preparing kifunensine.

The Pending Claims

Claims 1, 8-9, 11-17, and 19-23 are currently pending. Claims 1, 8-9, and 19-21 are directed to a process for preparing a compound of formula I. Claims 11-17 and 22-23 are directed to a process for preparing kifunensine.

Remarks

Claims 1, 8-9, 11-17, and 19-23 have been rejected as allegedly unpatentable over Kayakiri et al. *Chem. Pharm. Bull.* **1991**, 39, 1392-1396 ("Kayakiri I"), and Kayakiri et al. *Tetrahedron Lett.* **1990**, 31, 225-226 ("Kayakiri II"). This rejection is respectfully traversed.

Applicants submit that using an *N*-acetyl protecting group in a process for preparing kifunensine is not disclosed in either Kayakiri I or II and that using an *N*-acetyl protecting group in a process for preparing kifunensine would not have been obvious to one skilled in the art in view of either Kayakiri I or II alone, or in combination. As explained in the Declaration of Benjes, neither reference teaches or suggests the use of an *N*-acetyl protecting group that is later cleaved, and neither reference teaches or even suggests the desirability of using an *N*-acetyl protecting group that would later be cleaved to allow for the formation of an oxamoyl group. In the contrary, both Kayakiri I and II teach the oxamoylation step early in the process as an essential step of the process disclosed therein.

The cited references teach only the use of *N*-oxalyl protecting groups (i.e., moieties comprising $N-C_2O_2-$), more particularly, the *N*-ethyl oxalate (i.e., $N-C_2O_2-OEt$) and *N*-oxamoyl (i.e., $N-C_2O_2-NH_2$) protecting groups. The *N*-ethyl oxalate and *N*-oxamoyl groups disclosed in Kayakiri et al. are introduced early in the Kayakiri process and are used as nitrogen protecting groups which are not cleaved during the process because they become part of the final product, kifunensine. Furthermore, the teachings of Kayakiri I and II offer no suggestion of alternative nitrogen protecting groups, for example, protecting groups which are cleaved. Moreover, when the teachings of Kayakiri et al. are followed, shortcomings of the Kayakiri methodology become apparent. For example, Applicants have observed

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irreproducibility in the silylation step and lower overall yields when attempting to replicate the work of Kayakiri. See Declaration of Benjes, paragraph 6 and Exhibit B, pages 1-2.

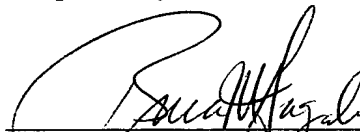
Applicants have discovered that using an *N*-acetyl protecting group, a protecting group which is introduced and then cleaved prior to the oxamoylation reaction, improves both the silylation reaction and overall yield of the process. See Declaration of Benjes, Exhibit B, pages 1-2.

Thus, Kayakiri et al. teach away from using the *N*-acetyl protecting group, a group which is introduced and then subsequently cleaved. Furthermore, the skilled artisan would not have a reasonable expectation of success in using an *N*-acetyl protecting group, given the harsh conditions necessary for its removal. See, e.g., Declaration of Benjes, paragraphs 6 and 7. One of ordinary skill in the art would not predict that the compound as a whole would survive such conditions, let alone improve the silylation reaction and overall yield.

Conclusion

The application is considered in good and proper form for allowance, and the Examiner is respectfully requested to pass this application to issue. If, in the opinion of the Examiner, a telephone conference would expedite the prosecution of the subject application, the Examiner is invited to call the undersigned attorney.

Respectfully submitted,



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Date: April 4, 2006